

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
30 October 2003 (30.10.2003)

PCT

(10) International Publication Number
WO 03/088900 A2

(51) International Patent Classification⁷: A61K

(21) International Application Number: PCT/US03/11022

(22) International Filing Date: 11 April 2003 (11.04.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/372,782 16 April 2002 (16.04.2002) US

(71) Applicants (for all designated States except US): **MERCK & CO., INC.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **BANYU PHARMACEUTICAL CO., LTD.** [JP/JP]; 2-3, Nihombashi Honcho 2-Chome, Chuo-ku, Tokyo 103-8416 (JP).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **KARKI, Shyam, B.** [NP/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **PAYACK, Joseph** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

TREEMANEKARN, Varaporn [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **WANG, Yaling** [CN/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **SATO, Yuichi** [JP/JP]; 2-3, Nihombashi-Honcho 2-Chome, Chuo-ku, Tokyo 103-8416 (JP).

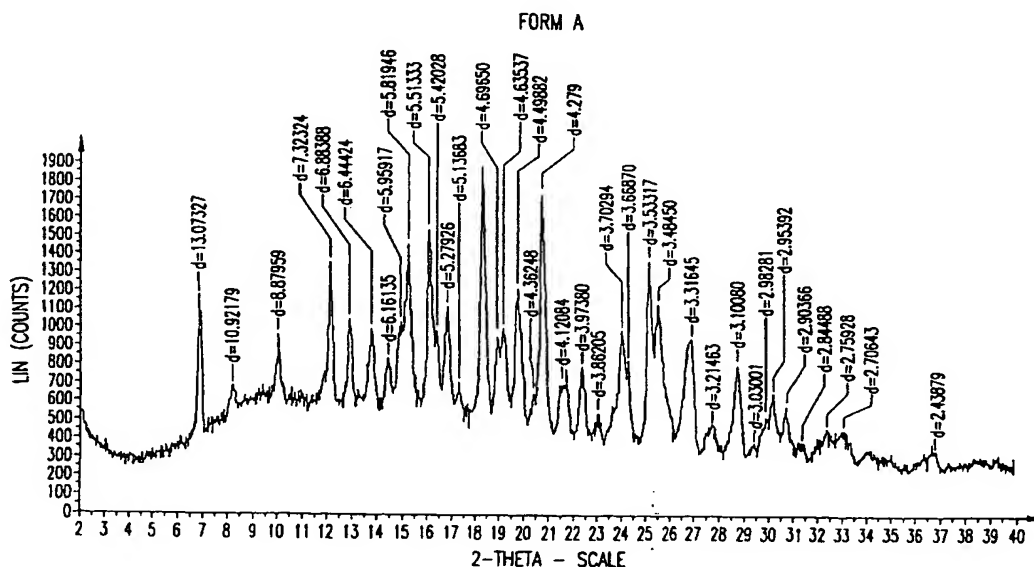
(74) Common Representative: **MERCK & CO., INC.**; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

(81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

[Continued on next page]

(54) Title: SOLID FORMS OF SALTS WITH TYROSINE KINASE ACTIVITY



(57) Abstract: The present invention relates to solid forms of the hydrochloridesalt3-[5-(4-methanesulfonyl-piperazin-1-yl-methyl)-1H-indol-2-yl]-1H-quinolin-2-one which inhibit, regulate and/or modulate tyrosine kinase signal transduction, compositions which contain these compounds, and methods of using them to treat tyrosine kinase-dependent diseases and conditions, such as angio-genesis, cancer, tumor growth, atherosclerosis, age related macular degeneration, diabetic retinopathy, inflammatory diseases, and the like in mammals.

WO 03/088900 A2